

STN- STRUCTURE SEARCH

3.23.04

10/634,401

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:120586 CAPLUS
 DOCUMENT NUMBER: 140:163877
 TITLE: Preparation of 2-(biarylalkyl)amino-3-(heterocyclcarbonylamino)pyridine derivatives as bradykinin receptor B1 antagonists
 INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai, Jenny Miu-Chun
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 20 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004029920	A1	20040212	US 2003-634401	20030805
PRIORITY APPLN. INFO.:			US 2002-401396P	P 20020806
OTHER SOURCE(S):		MARPAT 140:163877		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [X = Y = CH, or one is CH and the other is N; R1, R2 = H, C1-4 alkyl; R3 = H, (un)substituted C1-4 alkyl; R4 = H, nitro, halogen, (CH₂)_nORa, (CH₂)_nCO₂Ra, (CH₂)_nCN, (CH₂)_nNRbRc, (CH₂)_nNHC(O)CH₂CN, CONRbRc, C1-4 alkyl; R5 = tetrahydrofuryl, 2-oxo-4-azetidinyl, (un)substituted heteroaryl; R6a = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF₃, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO₂Ra' (wherein Ra' is a nonhydrogen group selected from Ra), CO₂Ra, CORa, CONRbRc, CONHORa, ORa, OC(O)Ra, S(O)nRa', SO₂NHRC, NH₂SO₂Rd, C(:NORa)NRbRc, C(:NORA)Ra, (un)substituted heterocycl; R6b, R6c = H, a group from R6a; with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle; R7 = H, cyano, nitro, halogen, ORa, CO₂Ra, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb, R_c = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRa, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared. Compds. disclosed herein, e.g., N-[2-[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4-methylpyridin-3-yl]isoxazole-5-carboxamide (II), are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalcosis, ptilosis, siderosis, silicosis,

tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

IT 656237-13-7P 656237-14-8P 656237-15-9P
 656237-16-0P 656237-17-1P 656237-18-2P
 656237-19-3P 656237-20-6P 656237-21-7P
 656237-22-8P 656237-23-9P 656237-24-0P
 656237-25-1P 656237-26-2P 656237-27-3P
 656237-28-4P 656237-29-5P 656237-30-8P
 656237-31-9P 656237-32-0P 656237-33-1P
 656237-34-2P 656237-35-3P 656237-36-4P
 656237-37-5P 656237-38-6P 656237-39-7P
 656237-40-0P 656237-41-1P 656237-42-2P
 656237-43-3P 656237-44-4P 656237-45-5P
 656237-46-6P 656237-47-7P 656237-48-8P
 656237-49-9P 656237-50-2P 656237-51-3P
 656237-52-4P 656237-53-5P 656237-54-6P
 656237-55-7P 656237-56-8P 656237-57-9P
 656237-58-0P 656237-59-1P 656237-60-4P
 656237-61-5P 656237-62-6P 656237-63-7P
 656237-64-8P 656237-65-9P 656237-66-0P
 656237-67-1P 656237-68-2P 656237-69-3P
 656237-70-6P 656237-71-7P 656237-72-8P
 656238-75-4P

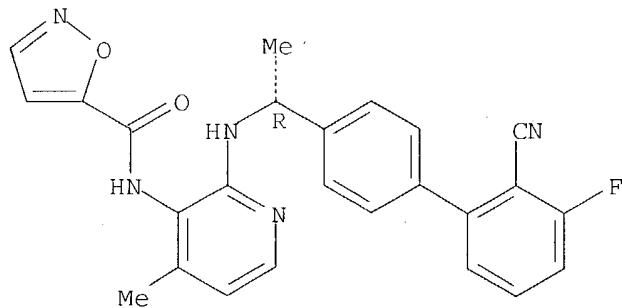
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (biarylalkyl)amino(heterocyclylcarbonylamino)pyridine derivs. as bradykinin receptor B1 antagonists for treatment or prevention of symptoms associated with bradykinin receptor B1 pathway)

RN 656237-13-7 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

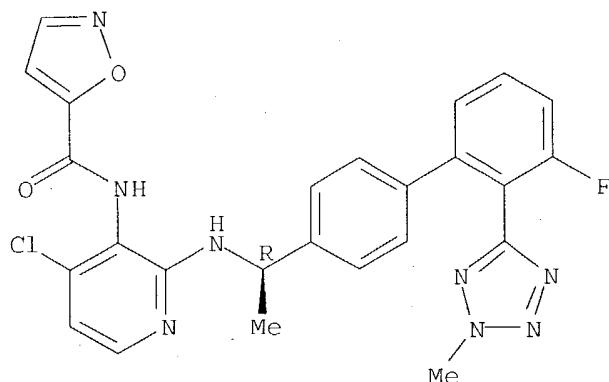
RN 656237-14-8 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-chloro-2-[(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/634,401

INDEX NAME)

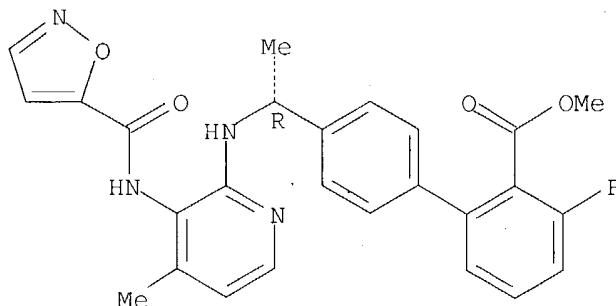
Absolute stereochemistry.



RN 656237-15-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'-'-[(1R)-1-[(3-[(5-isoxazolyl)carbonyl]amino)-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656237-16-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'-'-[(1R)-1-[(3-[(5-isoxazolyl)carbonyl]amino)-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

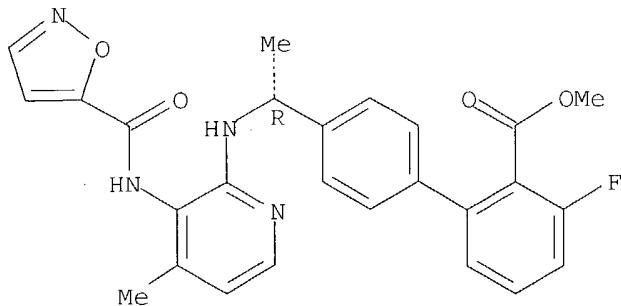
CM 1

CRN 656237-15-9

CMF C26 H23 F N4 O4

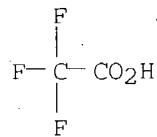
Absolute stereochemistry.

10/634,401



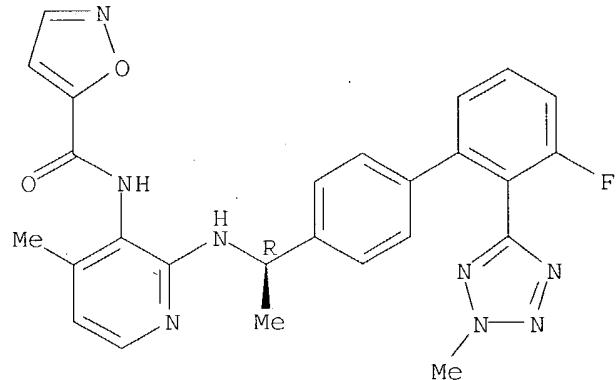
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 656237-17-1 CAPLUS
CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)-1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



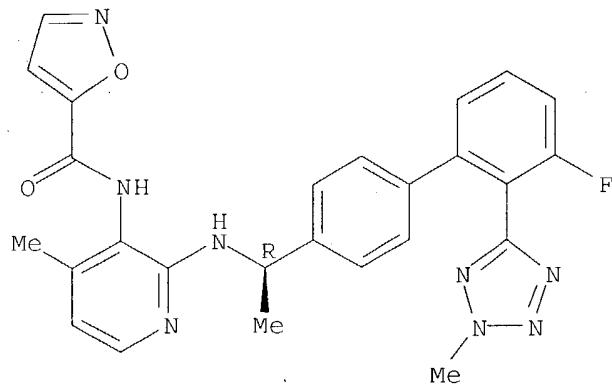
RN 656237-18-2 CAPLUS
CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)-1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-17-1
CMF C26 H23 F N8 O2

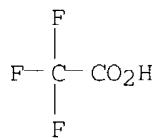
Absolute stereochemistry.

10/634,401



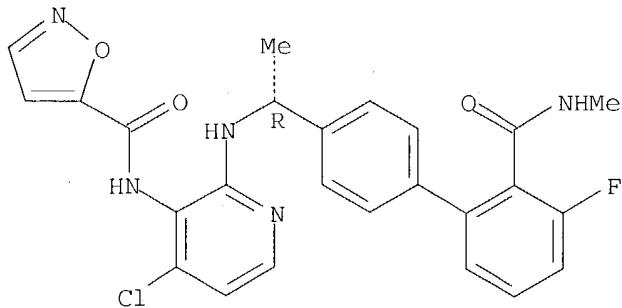
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 656237-19-3 CAPLUS
CN 5-Isoazolecarboxamide, N-[4-chloro-2-[(1R)-1-[3'-fluoro-2'-(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

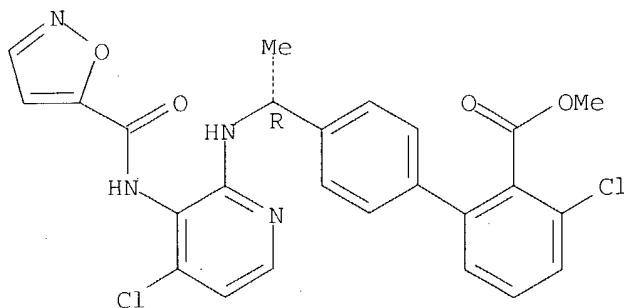


● HCl

RN 656237-20-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-(1R)-1-[(4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl)amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401



RN 656237-21-7 CAPLUS

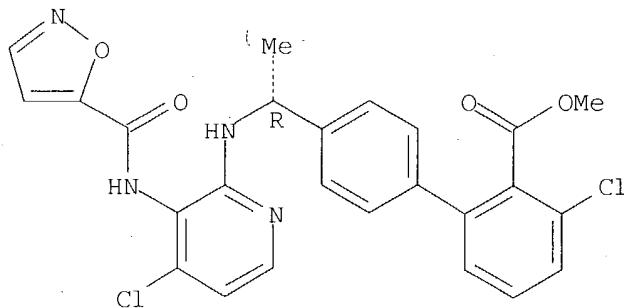
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-([(1R)-1-[(4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl)amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-20-6

CMF C25 H20 Cl12 N4 O4

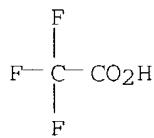
Absolute stereochemistry.



CM 2

CRN 76-05-1

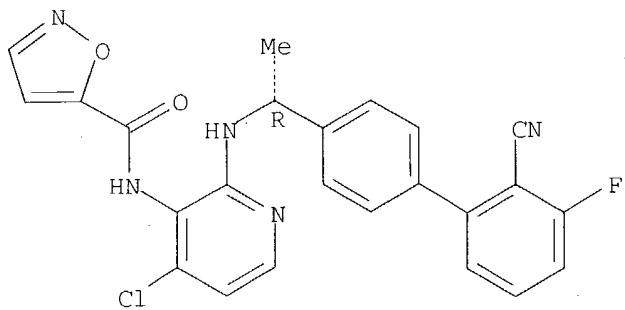
CMF C2 H F3 O2



RN 656237-22-8 CAPLUS

CN 5-Isoazolecarboxamide, N-[4-chloro-2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

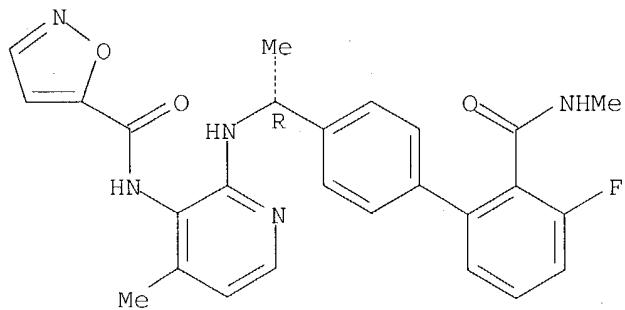


● HCl

RN 656237-23-9 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-[3'-fluoro-2'-[(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

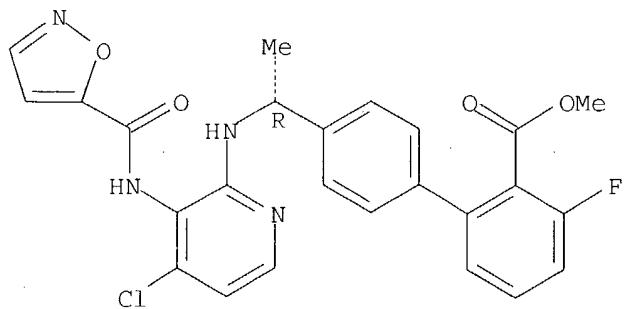


● HCl

RN 656237-24-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(1R)-1-[(4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl)amino]ethyl]-3-fluoro-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/634,401

RN 656237-25-1 CAPLUS

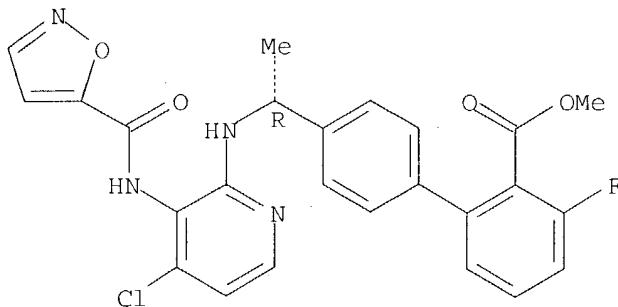
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-(1R)-1-[(4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl]amino)ethyl]-3-fluoro-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-24-0

CMF C25 H20 Cl F N4 O4

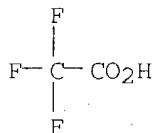
Absolute stereochemistry.



CM 2

CRN 76-05-1

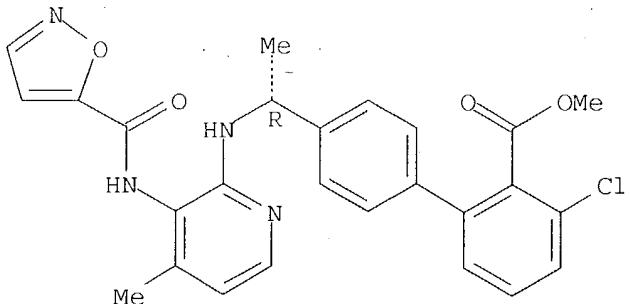
CMF C2 H F3 O2



RN 656237-26-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-(1R)-1-[(3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656237-27-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-(1R)-1-[(3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino)ethyl]-, methyl

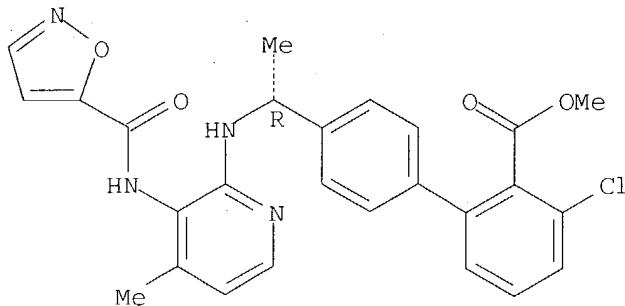
10/634,401

ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

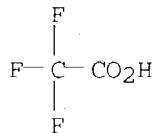
CRN 656237-26-2
CMF C26 H23 Cl N4 O4

Absolute stereochemistry.



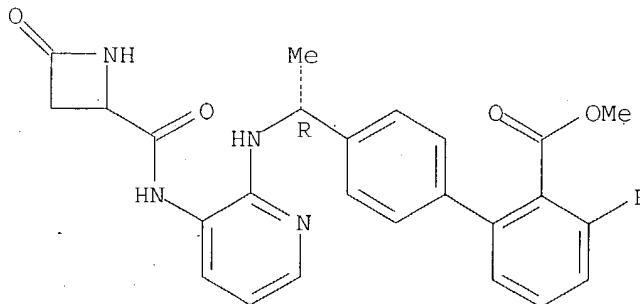
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 656237-28-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'-'-[(1R)-1-[[3-[[4-oxo-2-azetidinyl]carbonyl]amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

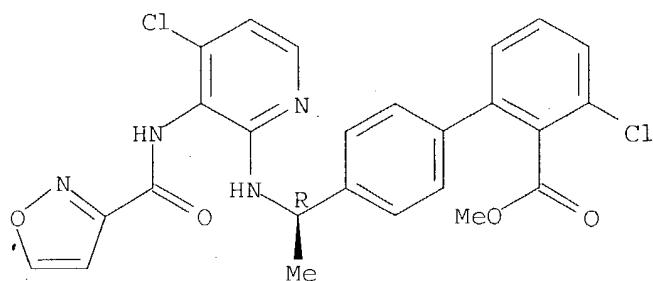
Absolute stereochemistry.



RN 656237-29-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-'-[(1R)-1-[[4-chloro-3-[(3-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401



RN 656237-30-8 CAPLUS

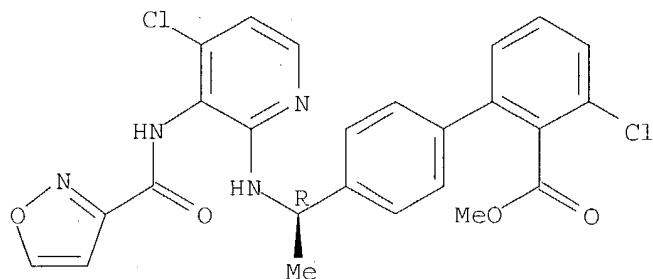
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-'-[(1R)-1-[[4-chloro-3-[(3-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-29-5

CMF C25 H20 Cl12 N4 O4

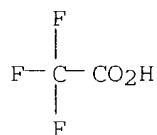
Absolute stereochemistry.



CM 2

CRN 76-05-1

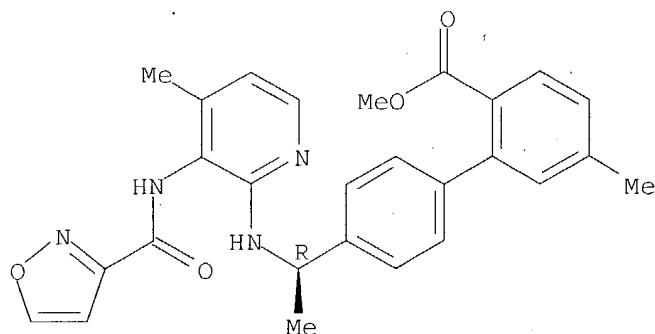
CMF C2 H F3 O2



RN 656237-31-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-'-[(1R)-1-[[3-[(3-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

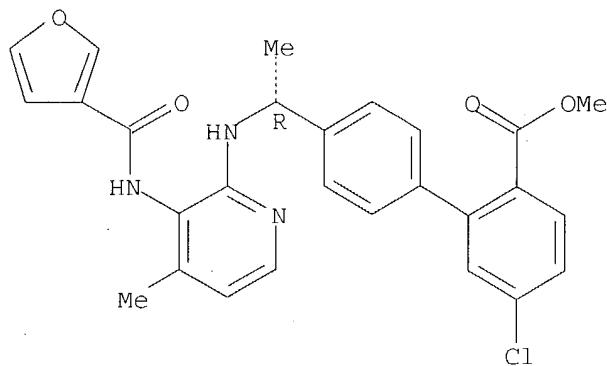


● HCl

RN 656237-32-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5-chloro-4'-'-[(1R)-1-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

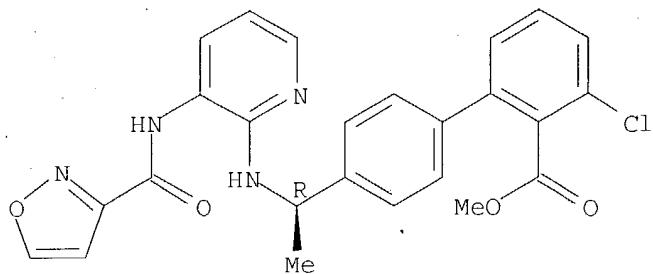


● HCl

RN 656237-33-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'-'-[(1R)-1-[(3-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

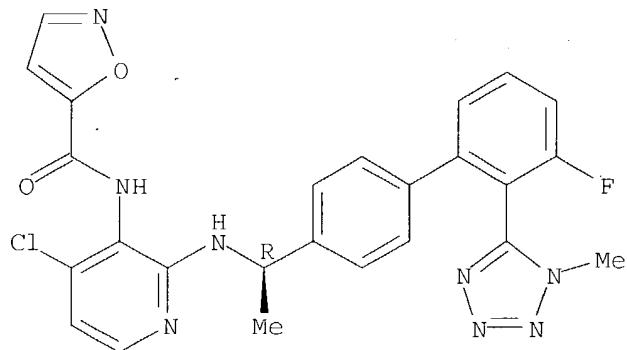


● HCl

RN 656237-34-2 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-chloro-2-[(1R)-1-[3'-fluoro-2'-(1-methyl-1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

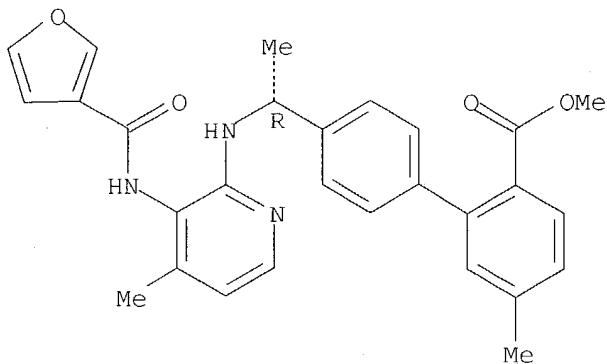
Absolute stereochemistry.



RN 656237-35-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[(3-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl)amino]ethyl]-5-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

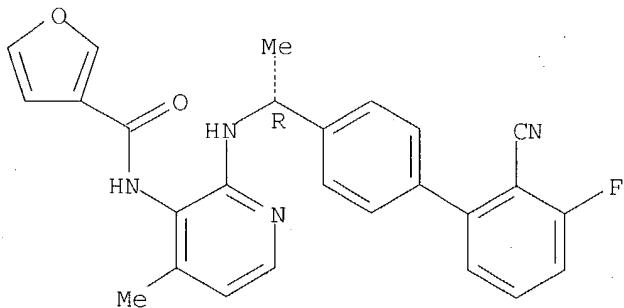


● HCl

RN 656237-36-4 CAPLUS

CN 3-Furancarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

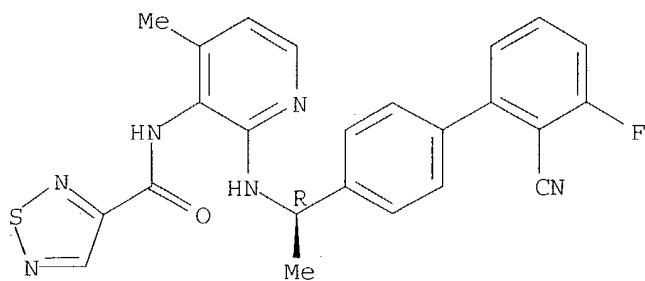


● HCl

RN 656237-37-5 CAPLUS

CN 1,2,5-Thiadiazole-3-carboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

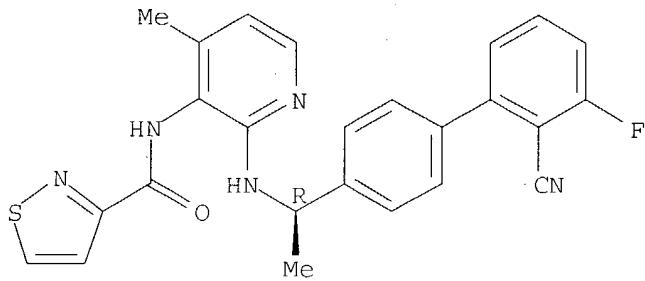


● HCl

RN 656237-38-6 CAPLUS

CN 3-Isothiazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

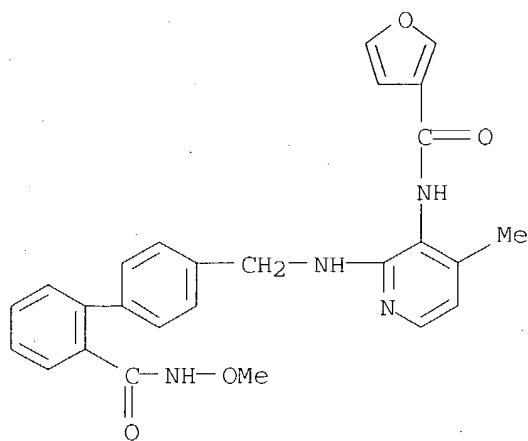
Absolute stereochemistry.



• HCl

RN 656237-39-7 CAPLUS

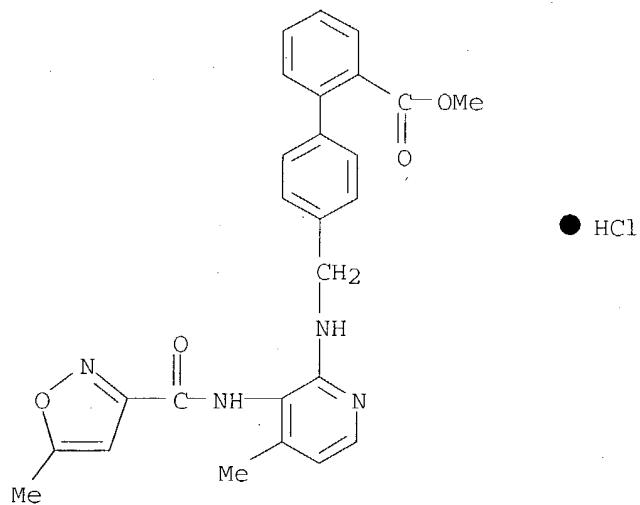
CN 3-Furancarboxamide, N-[2-[[2'-(methoxyamino)carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-40-0 CAPLUS

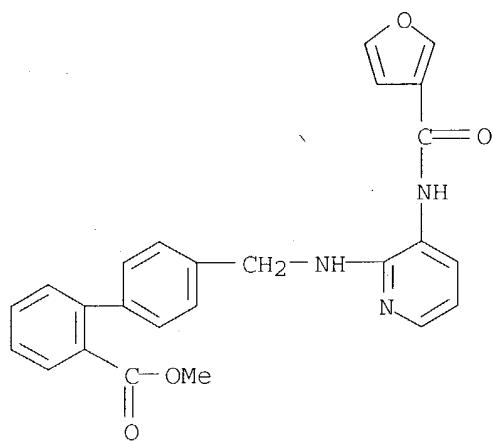
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(4-methyl-3-[(5-methyl-3-isoxazolyl)carbonylamino]-2-pyridinylamino)methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-41-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(3-[(3-furanylcarbonyl)amino]-2-pyridinylamino)methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

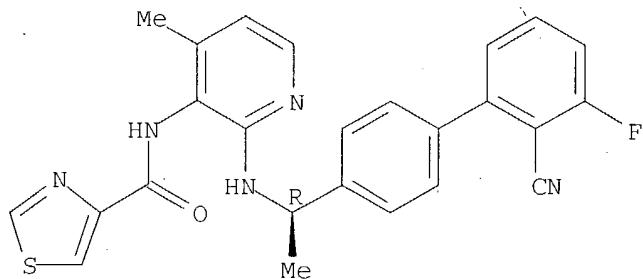


● HCl

RN 656237-42-2 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



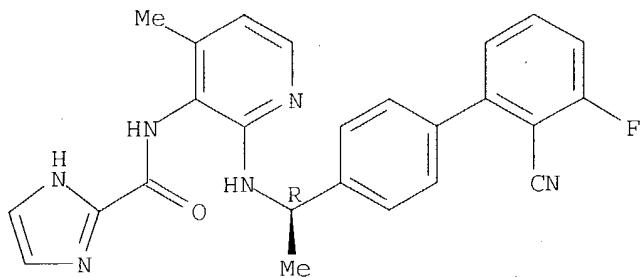
● HCl

RN 656237-43-3 CAPLUS

CN 1H-Imidazole-2-carboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

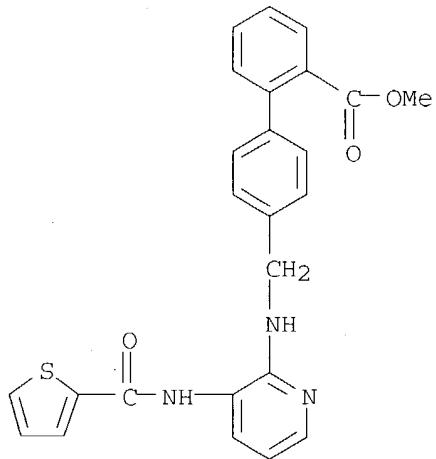
10/634,401



● HCl

RN 656237-44-4 CAPLUS

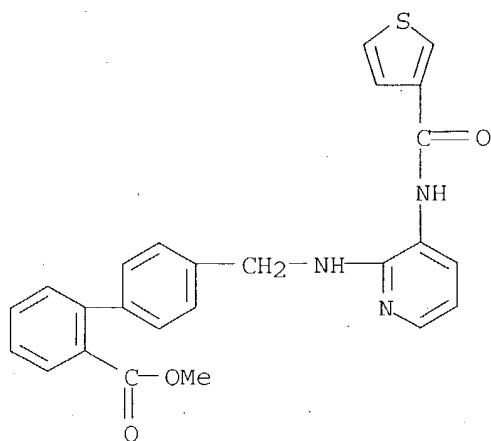
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(3-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-45-5 CAPLUS

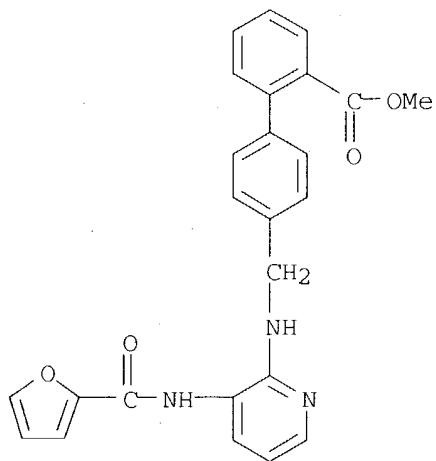
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(3-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-46-6 CAPLUS

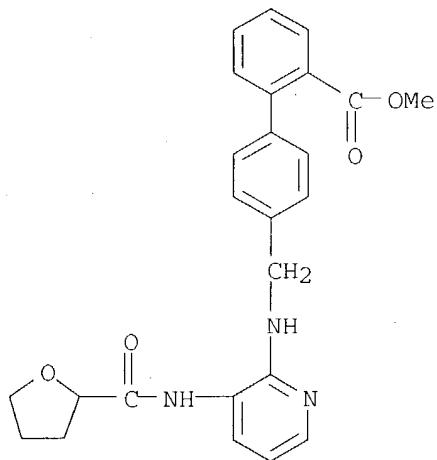
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(2-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-47-7 CAPLUS

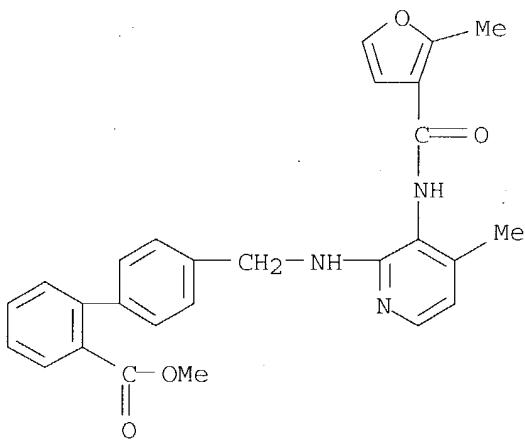
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(tetrahydro-2-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-48-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(4-methyl-3-[(2-methyl-3-furanyl)carbonyl]amino)-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

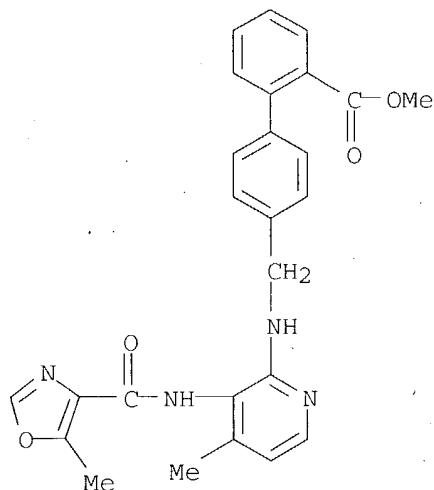


● HCl

RN 656237-49-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[(4-methyl-3-[(5-methyl-4-oxazolyl)carbonyl]amino)-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

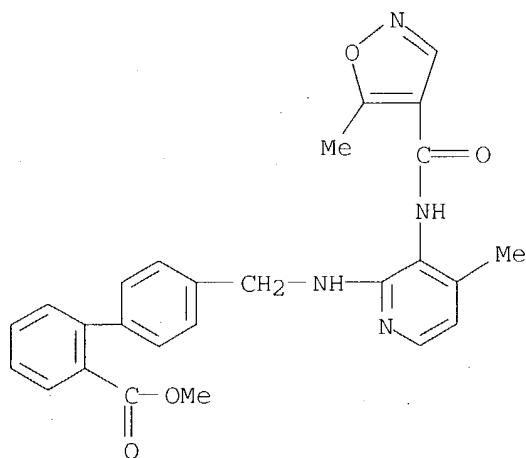
10/634,401



● HCl

RN 656237-50-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-{[[4-methyl-3-[(5-methyl-4-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl}-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

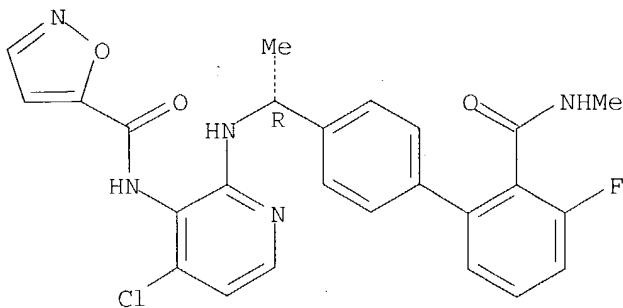


● HCl

RN 656237-51-3 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-chloro-2-[(1R)-1-[3'-fluoro-2'-(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

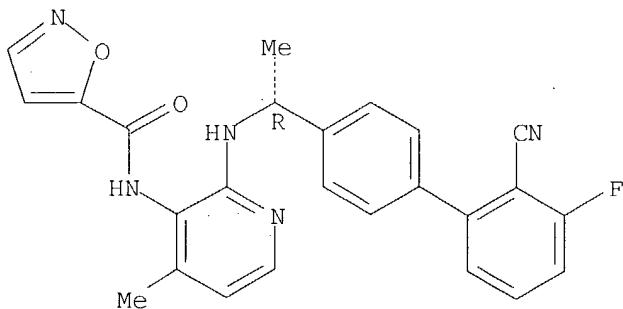
Absolute stereochemistry.



RN 656237-52-4 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)

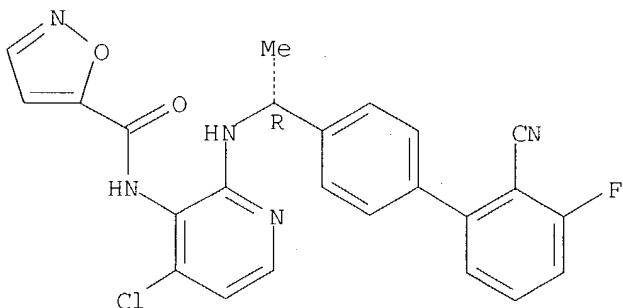
Absolute stereochemistry.



RN 656237-53-5 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-chloro-2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-3-pyridinyl- (9CI) (CA INDEX NAME)

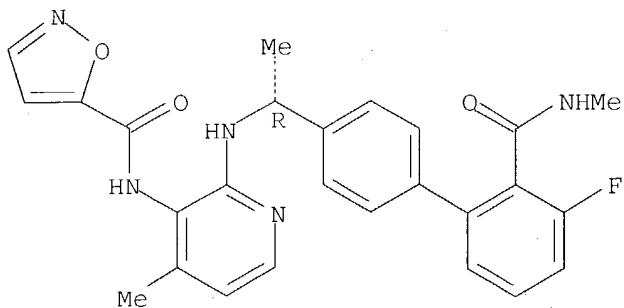
Absolute stereochemistry.



RN 656237-54-6 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2-[(1R)-1-[3'-fluoro-2'-(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)

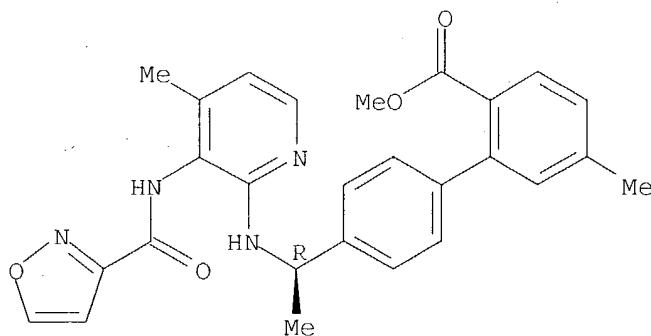
Absolute stereochemistry.



RN 656237-55-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[(3-
isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]aminoethyl]-5-methyl-,
methyl ester (9CI) (CA INDEX NAME)

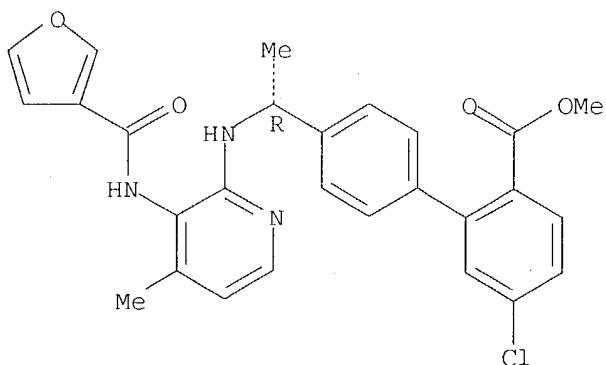
Absolute stereochemistry.



RN 656237-56-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5-chloro-4'--[(1R)-1-[(3-
furanylcarbonyl)amino]-4-methyl-2-pyridinyl]aminoethyl]-, methyl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

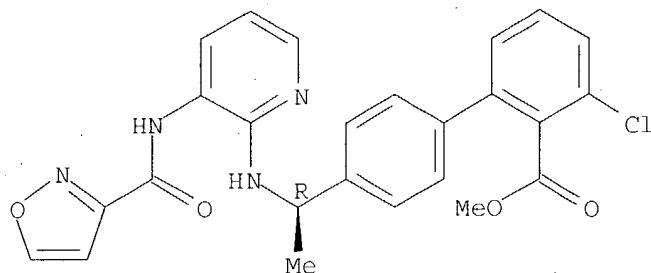


RN 656237-57-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[(3-
isoxazolylcarbonyl)amino]-2-pyridinyl]aminoethyl]-, methyl ester (9CI)
(CA INDEX NAME)

10/634,401

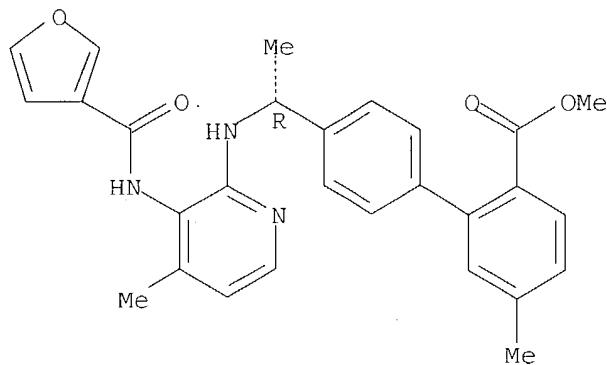
Absolute stereochemistry.



RN 656237-58-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-(1R)-1-[(3-[3-furanylcarbonyl]amino)-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester (9CI) (CA INDEX NAME)

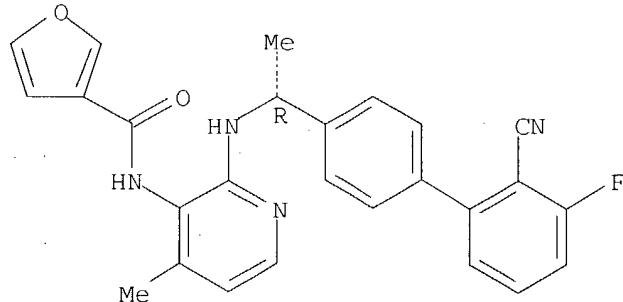
Absolute stereochemistry.



RN 656237-59-1 CAPLUS

CN 3-Furancarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

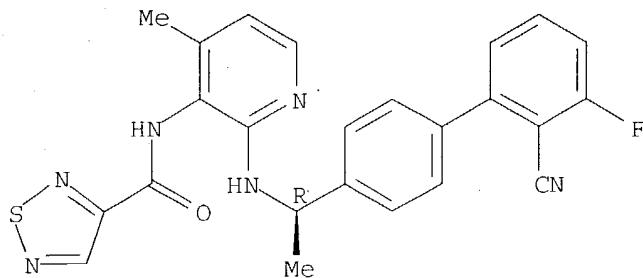


RN 656237-60-4 CAPLUS

CN 1,2,5-Thiadiazole-3-carboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

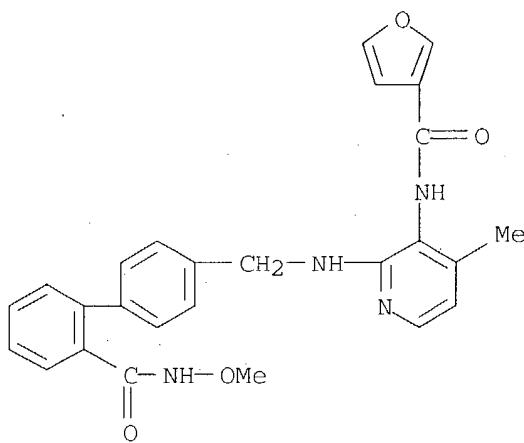
Absolute stereochemistry.

10/634,401



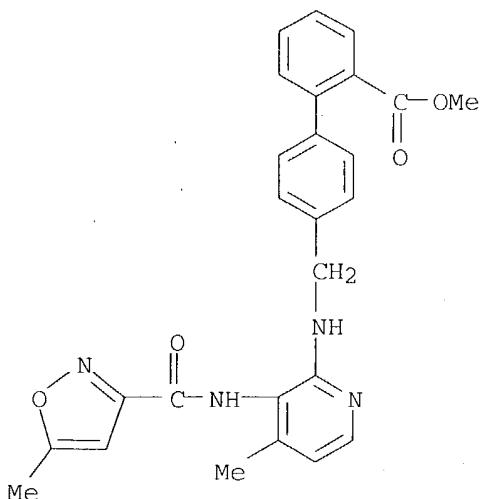
RN 656237-61-5 CAPLUS

CN 3-Furancarboxamide, N-[2-[[2'-(methoxyamino)carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 656237-62-6 CAPLUS

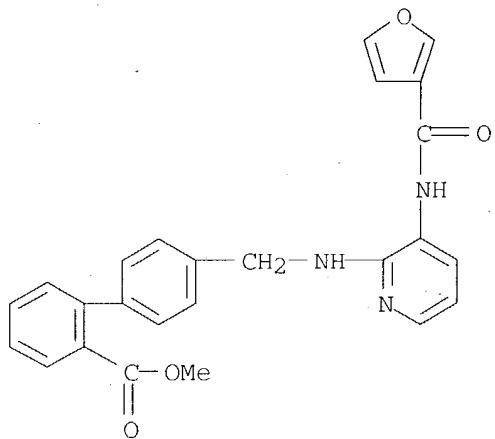
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[[4-methyl-3-[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 656237-63-7 CAPLUS

10/634,401

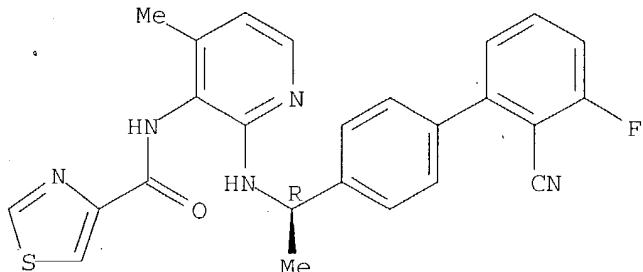
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[3-[(3-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 656237-64-8 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)

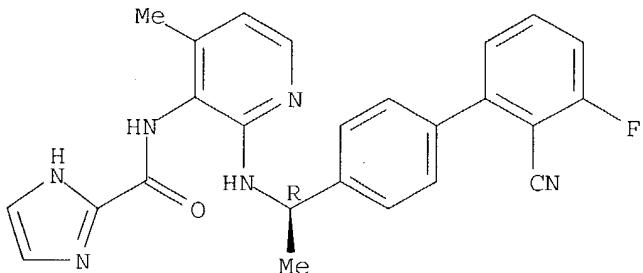
Absolute stereochemistry.



RN 656237-65-9 CAPLUS

CN 1H-Imidazole-2-carboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)

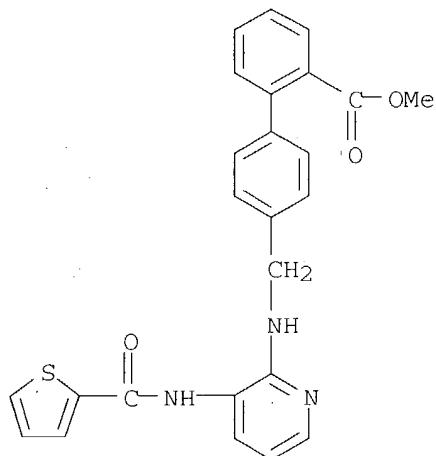
Absolute stereochemistry.



RN 656237-66-0 CAPLUS

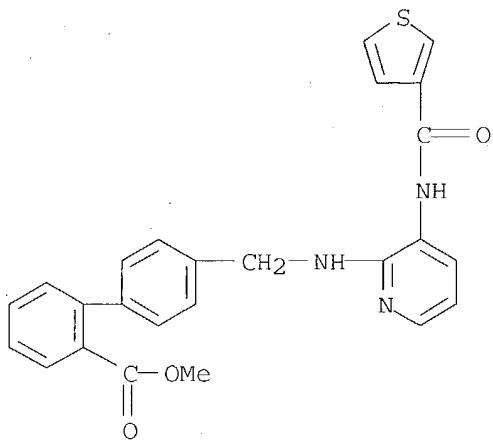
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[3-[(2-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl-, methyl ester (9CI) (CA INDEX NAME)

10/634,401



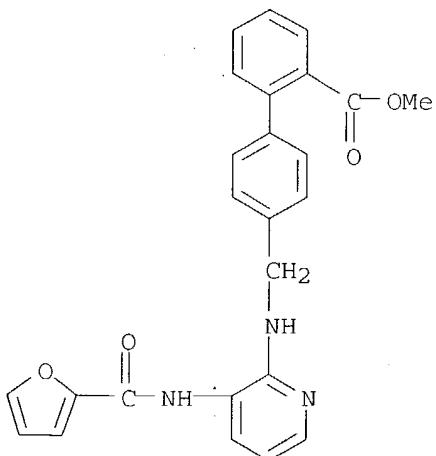
RN 656237-67-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[3-[(3-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



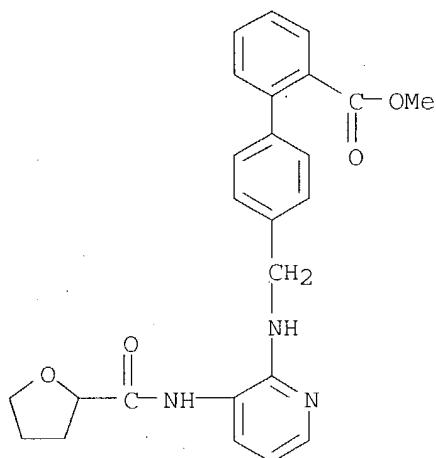
RN 656237-68-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[3-[(2-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

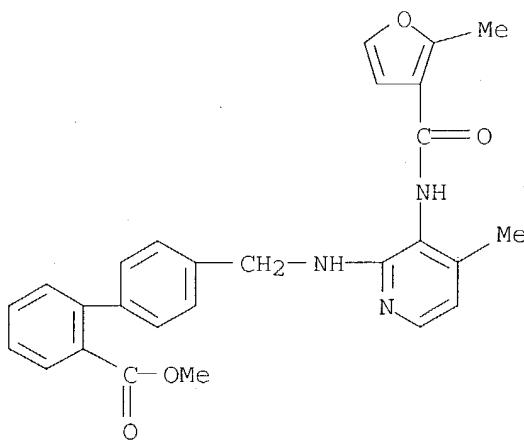


10/634,401

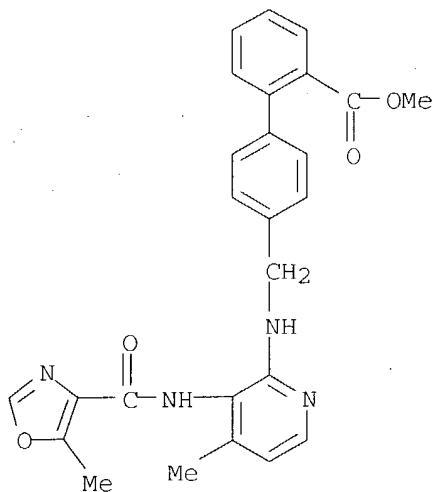
RN 656237-69-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[3-[[[tetrahydro-2-furanyl]carbonyl]amino]-2-pyridinyl]amino]methyl-, methyl ester (9CI)
(CA INDEX NAME)



RN 656237-70-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[4-methyl-3-[[2-methyl-3-furanyl]carbonyl]amino]-2-pyridinyl]amino]methyl-, methyl ester (9CI)
(CA INDEX NAME)

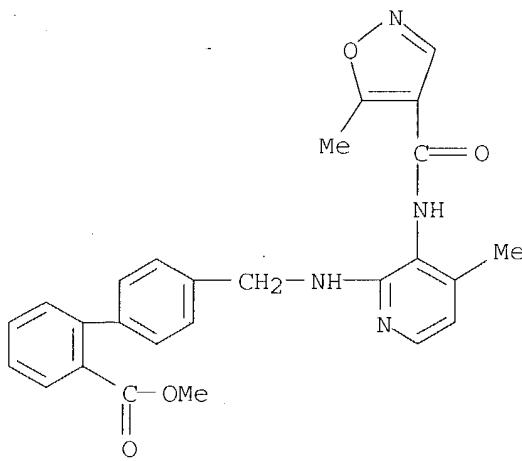


RN 656237-71-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[4-methyl-3-[[5-methyl-4-oxazolyl]carbonyl]amino]-2-pyridinyl]amino]methyl-, methyl ester (9CI)
(CA INDEX NAME)



RN 656237-72-8 CAPLUS

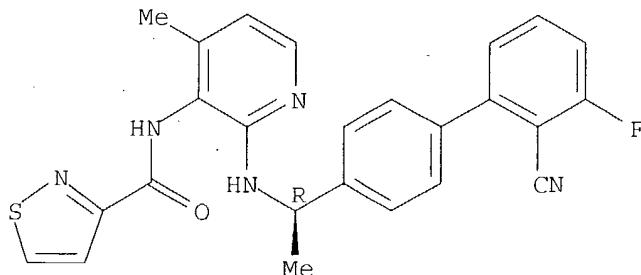
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[[4-methyl-3-[(5-methyl-4-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 656238-75-4 CAPLUS

CN 3-Isothiazolecarboxamide, N-[2-[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/634,401

=> d his

(FILE 'HOME' ENTERED AT 13:22:05 ON 23 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:23:04 ON 23 MAR 2004

L1 STRUCTURE uploaded
L2 2 S L1
L3 61 S L1 FULL

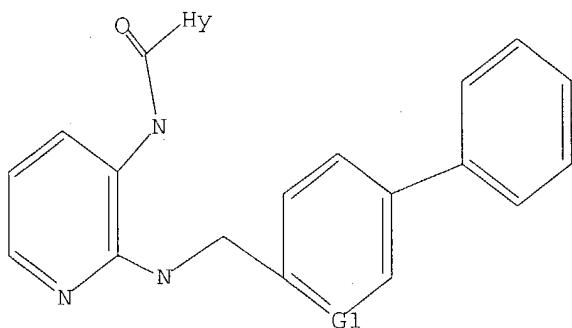
FILE 'CAPLUS' ENTERED AT 13:24:25 ON 23 MAR 2004

L4 1 S L3

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120586 CAPLUS
DOCUMENT NUMBER: 140:163877
TITLE: Preparation of 2-(biarylalkyl)amino-3-(heterocyclylcarbonylamino)pyridine derivatives as bradykinin receptor B1 antagonists
INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai, Jenny Miu-Chun
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004029920	A1	20040212	US 2003-634401	20030805
PRIORITY APPLN. INFO.:			US 2002-401396P P	20020806
OTHER SOURCE(S):	MARPAT 140:163877			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [X = Y = CH, or one is CH and the other is N; R1, R2 = H, C1-4 alkyl; R3 = H, (un)substituted C1-4 alkyl; R4 = H, nitro, halogen, (CH₂)_nORa, (CH₂)_nCO₂Ra, (CH₂)_nCN, (CH₂)_nNRbRc, (CH₂)_nNHC(O)CH₂CN, CONRbRc, C1-4 alkyl; R5 = tetrahydrofuran-2-yl, 2-oxo-4-azetidinyl, (un)substituted heteroaryl; R6a = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF₃, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO₂Ra' (wherein Ra' is a nonhydrogen group selected from Ra), CO₂Ra, CORa, CONRbRc, CONHORA, ORa, OC(O)Ra, S(O)nRa', SO₂NHRC, NHSO₂Rd, C(:NORA)NRbRc, C(:NORA)Ra, (un)substituted heterocyclyl; R6b, R6c = H, a group from R6a; with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle; R7 = H, cyano, nitro, halogen, ORa, CO₂Ra, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb, R_c = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRa, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared Compds. disclosed herein, e.g. N-[2-[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4-methylpyridin-3-yl]isoxazole-5-carboxamide (II), are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalcosis, ptilosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

=> d his

(FILE 'HOME' ENTERED AT 13:22:05 ON 23 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:23:04 ON 23 MAR 2004

L1 STRUCTURE uploaded
 L2 2 S L1
 L3 61 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:24:25 ON 23 MAR 2004

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 13:25:16 ON 23 MAR 2004

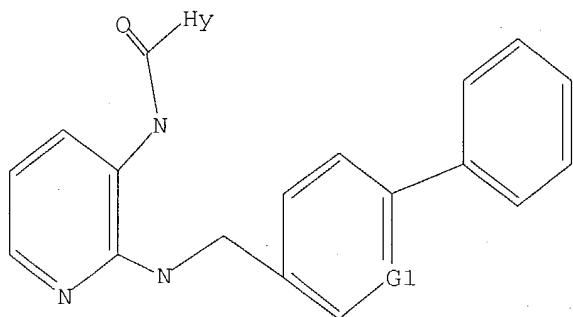
L5 STRUCTURE uploaded
 L6 2 S L5

10/634,401

L7 61 S L5 FULL

FILE 'CAPLUS' ENTERED AT 13:26:39 ON 23 MAR 2004
L8 1 S L7

=> d 15
L5 HAS NO ANSWERS
L5 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=>

Day : Tuesday
 Date: 3/23/2004
 Time: 13:30:38


PALM INTRANET
Inventor Name Search Result

Your Search was:

Last Name = KUDUK

First Name = SCOTT

Application#	Patent#	Status	Date Filed	Title
60410775	Not Issued	020	09/12/2002	N-BIPHENYLMETHYL AMINOCYCLOALKANE CARBOXYLIC ACID DERIVATIVES
60410172	Not Issued	020	09/12/2002	N-BIPHENYL(SUBSTITUTED METHYL)AMINOCYCLOALKANE CARBOXYLIC ACID DERIVATIVES
60406742	Not Issued	159	08/29/2002	N-BIARYLMETHYL AMINOCYCLOALKANE CARBOXYLIC ACID DERIVATIVES
60401462	Not Issued	159	08/06/2002	2-(BIARYLALKYL)AMINO-3-(ALKANOYLAMINO)PYRROLIDINE DERIVATIVES
60401454	Not Issued	159	08/06/2002	2-(BIARYLALKYL)AMINO-3-(FLUOROALKANOYLAMINO)PYRROLIDINE DERIVATIVES
60401396	Not Issued	159	08/06/2002	2-(BIARYLALKYL)AMINO-3-(HETEROACYCLYL)CARBOXYLIC ACID DERIVATIVES
60401386	Not Issued	159	08/06/2002	2-(BIARYLALKYL)AMINO-3-(CYANOALKANOYLAMINO)PYRROLIDINE DERIVATIVES
60355062	Not Issued	159	02/08/2002	N-BIPHENYLMETHYL AMINOCYCLOPROPANE CARBOXYLIC ACID DERIVATIVES
60296644	Not Issued	159	06/07/2001	BENZODIAZAPINE BRADYKININ ANTAGONISTS
60185968	Not Issued	159	03/01/2000	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND USES THEREOF
10634966	Not Issued	020	08/05/2003	2-(BIARYLALKYL)AMINO-3-(FLUOROALKANOYLAMINO)PYRROLIDINE DERIVATIVES
10634426	Not	030	08/05/2003	2-(BIARYLALKYL)AMINO-3-(CYANOALKANOYLAMINO)PYRROLIDINE DERIVATIVES

	Issued			DERIVATIVES
<u>10634402</u>	Not Issued	030	08/05/2003	2-(BIARYLALKYL)AMINO-3-(ALKANOYLAMINO)PY
<u>10634401</u>	Not Issued	030	08/05/2003	2-(BIARYLALKYL)AMINO-3-(HETEROACYCLYLIC DERIVATIVES
<u>10600012</u>	Not Issued	041	06/19/2003	TRIMERIC ANTIGENIC O-LINKED GLYCOPEPTIDE (OF PREPARATION AND USES THEREOF
<u>10401494</u>	Not Issued	019	03/28/2003	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND ANALOGUES THEREOF
<u>10354674</u>	Not Issued	030	01/30/2003	N-BIPHENYL(SUBSTITUTED METHYL) AMINOCYCLOALKANE-CARBOXAMIDE DERIVAT
<u>10329090</u>	Not Issued	071	12/23/2002	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND ANALOGUES THEREOF
<u>10205021</u>	Not Issued	030	07/25/2002	ALPHA-O-LINKED GLYCOCONJUGATES, METHODS AND USES THEREOF
<u>10135433</u>	Not Issued	083	04/30/2002	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND ANALOGUES THEREOF
<u>10062376</u>	6603023	150	02/01/2002	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND ANALOGUES THEREOF
<u>09960665</u>	Not Issued	041	09/21/2001	METHODS AND COMPOSITIONS FOR DEGRADATION OF HER-FAMILY TYROSINE KINASES
<u>09937192</u>	Not Issued	120	09/21/2001	METHODS AND COMPOSITIONS FOR DEGRADATION OF HER-FAMILY TYROSINE KINASES
<u>09680493</u>	Not Issued	168	10/05/2000	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND USES THEREOF
<u>09403434</u>	6670348	150	10/20/1999	METHODS AND COMPOSITIONS FOR DESTRUCTION OF PROTEINS
<u>09276595</u>	Not Issued	161	03/25/1999	TRIMERIC ANTIGENIC O-LINKED GLYCOPEPTIDE (OF PREPARATION AND USES THEREOF
<u>09257072</u>	6204388	150	02/24/1999	SYNTHESIS OF EPOTHILONES, INTERMEDIATES AND ANALOGUES THEREOF

Inventor Search Completed: No Records to Display.

**Search Another:
Inventor**

Last Name	First Name
<input type="text" value="Kuduk"/>	<input type="text" value="Scott"/>

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | Home page